Ser. No. 09/552,969

Listing of Claims:

Claim 1. (original) A compound of the formula:

$$R_1$$
 R_2
 R_2
 R_1
 R_2
 R_3
 R_4
 R_4
 R_4
 R_5
 R_4
 R_5
 R_5
 R_4
 R_5
 R_4
 R_5
 R_5
 R_5
 R_5
 R_5
 R_6
 R_7
 R_7

in which

R₁ is independently selected from the group consisting of hydrogen; hydroxy; halo; C₁₋₈alkyl; C₁₋₈alkoxy; substituted C₁₋₈ alkyl wherein the substituent is halo; substituted C₁₋₈ alkoxy wherein the substituent is halo; trifluoroalkyl; C₁₋₈alkylthio and substituted C₁₋₈alkylthio wherein the substituent is selected from halo, trifluoroalkyl and C₁₋₈alkoxy; C₃₋₆cycloalkyl; C₃₋₈cycloalkoxy; nitro; amino; C₁₋₆alkylamino; C₁₋₈dialkylamino; C₄₋₈cycloalkylamino; cyano; carboxy; C₁₋₅alkylcarbonyloxy; C₁₋₅alkoxycarbonyloxy; formyl; carbamoyl; phenyl; and substituted phenyl wherein the substituent is selected from halo, hydroxyl, nitro, amino and cyano;

n is 0-2;

B₂ is selected from the group consisting of hydrogen; C₁₋₅alkyl; substituted C₁₋₅alkyl wherein the substituent is halo;

B₂ may have either a cis- or trans- stereochemical orientation with respect to B₁;

- Y is methylene (-CH₂-) or carbonyl (C=O)
- L is selected from the group consisting of

Ser. No. 09/552,969

 C_{1-8} alkylene; C_{2-10} alkenylene; C_{2-10} alkynylene; C_{3-7} cycloalkylene;

C₃₋₇cycloalkylC₁₋₄alkylene;

arylC₁₋₄alkylene;

(N-methylene)piperidin-4-yl;

$$\left\{ -CH_{2}-N \right\}$$

(N-methylene)piperazin-4-yl;

and

(N-methylene)piperidin-4,4-diyl;

- R₂ is independently selected from the group consisting of hydrogen; C_{1.5}alkyl; substituted C_{1.5}alkyl wherein the substituent is halo;
- B₁ is hydrogen;

B₁ may have either a cis- or trans- stereochemical orientation with respect to B₂;

Z is selected from the group consisting of:

phenyl;

N-sulfonamido;

N-(aryl)sulfonamido;

2,3-dihydro-2-oxo-1*H*-benzimidazol-1-yl;

and 1-aryl-2,3-dihydro-4-oxo-imidazol-5,5-diyl;

- R₃ is selected from the group consisting of C₁₋₈alkyl; substituted C₁₋₈alkyl wherein the substituent is selected from alkoxy and halo; cycloalkyl; substituted cycloalkyl wherein the substituent is selected from C₁₋₈alkoxy and halo; naphthyl; substituted naphthyl wherein the substituent is selected from halo, nitro, amino and cyano; heteroaryl wherein the heteroaryl group is selected from pyridyl, pyrimidyl, furyl, thienyl and imidazolyl; and substituted heteroaryl wherein the substituent is selected from halo, nitro, amino and cyano;
- R₄ is independently selected from the group consisting of C_{1.8}alkyl; alkoxy; hydroxy; halo; cyano, nitro; amino and alkylamino; substituted C_{1.8}alkyl wherein the substituent is halo;

Ser. No. 09/552,969

m is 0-2;

with the following provisions:

when L isC₁₋₈alkylene; C₂₋₁₀alkenylene; C₂₋₁₀alkynylene; C₃₋₇cycloalkylene; C₃₋₇cycloalkyleneC₁₋₄alkylene; arylC₁₋₄alkylene; (N-methylene)piperidin-4-yl; then Z is phenyl; N-sulfonamido; N-(aryl)sulfonamido; or 2,3-dihydro-2-oxo-1*H*-benzimidazol-1-yl;

when L is (N-methylene)piperazin-4-yl; then Z is phenyl or aryl; and

when L is (N-methylene)piperidin-4,4,-diyl; then Z is 1-aryl-2,3-dihydro-4-oxo-imidazol-5,5-diyl;

and enantiomers, diastereomers, and pharmaceutically acceptable salts thereof.

Claim 2. (original) A compound of Claim 1 wherein R₁ is hydrogen, alkyl, halo, alkoxy, hydroxy, nitro, amino or trifluoroalkyl;

B₂ and B₁ are hydrogen;

R2 is hydrogen or alkyl;

Y is methylene or carbonyl;

L is alkylene, alkenylene, alkynylene, (N-methylene)piperidin-4-yl, (N-methylene)piperazin-4-yl or (N-methylene)piperidin-4,4-diyl; Z is phenyl, N-sulfonamido, N(aryl)sulfonamido, 2,3-dihydro-2-oxo-1H-benzimidazo-1-yl or 1-aryl-2,3-dihydro-4-oxo-imidazol-5,5-diyl;

R₃ is alkyl, substituted alkyl, cycloalkyl, aryl, substituted aryl, heteroaryl or substituted heteroaryl;

R4 is alkyl, alkoxy, hydroxy, halo, cyano, nitro, amino, alkylamino or substituted alkyl;

n is 0-2;

m is 0-2;

provided that when:

L is C₁₋₈alkylene, C₂₋₁₀alkenylene; C₂₋₁₀alkynylene, C₃₋₇cycloalkylene, C₃₋₇cycloalkylene, C₃₋₁₀alkylene, arylC₁₋₄alkylene or (N-methylene)piperidin-4-yl, then Z is phenyl, N-sulfonamido, N-(aryl)sulfonamido or 2,3-dihydro-2-oxo-1H-benzimidazol-1-yl;

when L is (N-methylene)piperazin-4-yl, then Z is phenyl; and

when L is (N-methylene)piperidin-4,4-diyl, then Z is 1-aryl-2,3-dihydro-4-oxo-imidazol-5,5-diyl;

and the enantiomers, diastereomers and pharmaceutically acceptable salts thereof.

Ser. No. 09/552,969

Claim 3. (original) A compound of claim 1 selected form the group consisting of:

and

Claim 4. (original) A compound of claim 1 selected from the group consisting of:

Claim 5. (original) A compound of claim 1 selected from the group consisting of:

Claim 6. (original) A compound of claim 1 selected from the group consisting of:

Claim 7. (original) A compound of claim 1 selected from the group consisting of:

Claim 8. (original) A compound of claim 1 selected from the group consisting of:

Claim 9. (original) A compound of claim 1 selected from the group consisting of:

Claim 10. (original) A compound of claim 1 selected from the group consisting of:

Claim 11. (original) A compound of claim 1 selected from the group consisting of:

Claim 12. (original) A compound of claim 1 selected from the group consisting of:

Claim 13. (original) A compound of claim 1 selected from the group consisting of:

Claim 14. (original) A compound of claim 1 selected from the group consisting of:

Ser. No. 09/552,969

Claim 15. (withdrawn) A compound of the formula:

$$(R_1)n = \begin{bmatrix} NH & 0 \\ N-S-R_3 \\ 0 \end{bmatrix}$$

Wherein

R₁ is independently selected from the group consisting of hydrogen; hydroxy; halo; C₁₋₈alkyl; C₁₋₈alkoxy; substituted C₁₋₈ alkoxy; trifluoroalkyl; C₁₋₈alkylthio; C₃₋₆cycloalkyl; C₃₋₈cycloalkyloxy; nitro; amino; C₁₋₆alkylamino; C₁₋₈dialkylamino; C₄₋₈cycloalkylamino; cyano; carboxy; C₁₋₅alkylcarbonyloxy; C₁₋₅alkoxycarbonyloxy; formyl; carbamoyl; phenyl and substituted phenyl;

n is 0 to 2

- R₃ is independently selected from the group consisting of C₁₋₈alkyl; substituted C₁₋₈alkyl; cycloalkyl; substituted cycloalkyl; naphthyl; substituted naphthyl; heteroaryl wherein the heteroaryl group is selected from pyridyl, pyrimidyl, furyl, thienyl and imidazolyl; and substituted heteroaryl;
- L is selected from the group consisting of

 C₁₋₈alkylene; C₂₋₁₀alkenylene; C₂₋₁₀alkynylene; C₃₋₇cycloalkylene;

 C₃₋₇cycloalkylC₁₋₄alkylene; arylC₁₋₄alkylene;

 (N- methylene)piperidin-4-yl, (N-methylene)piperazin-4-yl and

 (N-methylene)piperidin-4,4-diyl;

and the enantiomers, diastereomers and pharmaceutically acceptable salts thereof.

Ser. No. 09/552,969

Claim 16. (withdrawn) A compound of the formula:

wherein
$$(R_1)n\frac{1}{1!}$$
 R_4

R₁ is independently selected from the group consisting of hydrogen; hydroxy; halo; C₁₋₈alkyl; C₁₋₈alkoxy; substituted C₁₋₈ alkoxy; trifluoroalkyl; C₁₋₈alkylthio; C₃₋₆cycloalkyl; C₃₋₈cycloalkyloxy; nitro; amino; C₁₋₆alkylamino; C₁₋₈dialkylamino; C₄₋₈cycloalkylamino; cyano; carboxy; C₁₋₅alkylcarbonyloxy; C₁₋₅alkoxycarbonyloxy; formyl; carbamoyl; phenyl and substituted phenyl;

n is 0 to 2

R₄ is independently selected from the group consisting of C₁₋₈alkyl; alkoxy; hydroxy; halogen; cyano, nitro; amino and alkylamino; substituted C₁₋₈alkyl wherein the substituent is halo;

L is selected from the group consisting of

C_{1.8}alkylene; C_{2.10}alkenylene; C_{2.10}alkynylene; C_{3.7}cycloalkylene;

C_{3.7}cycloalkylC_{1.4}alkylene; arylC_{1.4}alkylene;

(N-methylene)piperidin-4-yl, (N-methylene)piperazin-4-yl and

(N-methylene)piperidin-4,4-diyl;

and the enantiomers, diastereomers and pharmaceutically acceptable salts thereof.

Claim 17. (withdrawn) A compound of the formula:

$$\begin{array}{c|c} NH & O \\ N-CH_2-L-N-S-R_3 \\ \hline \\ (R_1)n-1 \\ \hline \\ N+X \end{array}$$

Wherein

R₁ is independently selected from the group consisting of hydrogen; hydroxy; halo; C₁₋₈alkyl; C₁₋₈alkoxy; substituted C₁₋₈ alkoxy; trifluoroalkyl; C₁₋₈alkylthio; C₃₋₆cycloalkyl; C₃₋₈cycloalkyloxy; nitro; amino; C₁₋₆alkylamino; C₁₋₈dialkylamino; C₄₋₈cycloalkylamino; cyano; carboxy; C₁₋₅alkylcarbonyloxy; C₁₋₅alkoxycarbonyloxy; formyl; carbamoyl; phenyl and substituted phenyl;

n is 0 to 2

HX is hydrochloric acid or trifluoroacetic acid

- R₃ is independently selected from the group consisting of C₁₋₈alkyl; substituted C₁.

 8alkyl; cycloalkyl; substituted cycloalkyl; naphthyl; substituted naphthyl; heteroaryl wherein the heteroaryl group is selected from pyridyl, pyrimidyl, furyl, thienyl and imidazolyl; and substituted heteroaryl;
- L is selected from the group consisting of

 C₁₋₈alkylene; C₂₋₁₀alkenylene; C₂₋₁₀alkynylene; C₃₋₇cycloalkylene;

 C₃₋₇cycloalkylC₁₋₄alkylene; arylC₁₋₄alkylene;

 (N-methylene)piperidin-4-yl, (N-methylene)piperazin-4-yl and
 (N-methylene)piperidin-4,4-diyl;
 and the enantiomers, diastereomers and pharmaceutically acceptable salts thereof.

Claim 18. (withdrawn) A compound of the formula:

$$\begin{array}{c|c} & & & \\ & & & \\ N-CH_2-L-N-S \\ & & \\ & & \\ N+X \end{array}$$

wherein

R₁ is independently selected from the group consisting of hydrogen; hydroxy; halo; C₁₋₈alkyl; C₁₋₈alkoxy; substituted C₁₋₈ alkoxy; trifluoroalkyl; C₁₋₈alkylthio; C₃₋₆cycloalkyl; C₃₋₈cycloalkyloxy; nitro; amino; C₁₋₆alkylamino; C₁₋₈dialkylamino; C₄₋₈cycloalkylamino; cyano; carboxy; C₁₋₅alkylcarbonyloxy; C₁₋₅

salkoxycarbonyloxy; formyl; carbamoyl; phenyl and substituted phenyl;

HX is hydrochloric acid or trifluoroacetic acid

- n is 0 to 2
- R₄ is independently selected from the group consisting of C₁₋₈alkyl; alkoxy; hydroxy; halogen; cyano, nitro; amino and alkylamino; substituted C₁₋₈alkyl wherein the substituent is halo;
- L is selected from the group consisting of $C_{1.8}$ alkylene; $C_{2.10}$ alkenylene; $C_{2.10}$ alkynylene; $C_{3.7}$ cycloalkyl $C_{1.4}$ alkylene; aryl $C_{1.4}$ alkylene;

 (N-methylene)piperidin-4-yl, (N-methylene)piperazin-4-yl and

 (N-methylene)piperidin-4,4-diyl;

and the enantiomers, diastereomers and pharmaceutically acceptable salts thereof.

Claim 19. (currently amended) A compound of Claim 15 1 wherein:

R₁ is hydrogen, alkyl, halo, alkoxy, hydroxy, nitro, amino or trifluoroalkyl;

B2 and B1 are hydrogen;

- R2 is hydrogen or alkyl;
- Y is methylene or carbonyl;
- L is selected from the group consisting of

 C₁₋₈alkylene; C₂₋₁₀alkenylene; C₂₋₁₀alkynylene; C₃₋₇cycloalkylene;

 C₃₋₇cycloalkylC₁₋₄alkylene; arylC₁₋₄alkylene;

 (N-methylene)piperidin-4-yl, (N-methylene)piperazin-4-yl and

 (N-methylene)piperidin-4,4-diyl;
- Z is phenyl, N-sulfonamido, N(aryl)sulfonamido, 2,3-dihydro-2-oxo-1H-benzimidazo-1-yl or 1-aryl-2,3-dihydro-4-oxo-imidazol-5,5-diyl;

R3 is alkyl, substituted alkyl, cycloalkyl, aryl, substituted aryl, heteroaryl or substituted heteroaryl;

R₄ is independently selected from the group consisting of C₁₋₈alkyl; alkoxy; hydroxy; halogen; cyano, nitro; amino; alkylamino; and substituted C₁₋₈alkyl wherein the substituent is halo;

n is 0-2;

m is 0-2;

provided that when:

L is C₁₋₈alkylene, C₂₋₁₀alkenylene; C₂₋₁₀alkynylene, C₃₋₇cycloalkylene, C₃₋₇cycloalkylene, C₁₋₄alkylene, arylC₁₋₄alkylene or (N-methylene)piperidin-4-yl, then Z is phenyl, N-sulfonamido, N-(aryl)sulfonamido or 2,3-dihydro-2-oxo-1H-benzimidazol-1-yl;

when L is (N-methylene)piperazin-4-yl, then Z is phenyl; and when

L is (N-methylene)piperidin-4,4-diyl, then Z is 1-aryl-2,3-dihydro-4-oxo-imidazol-5,5-diyl;

and the enantiomers, diastereomers and pharmaceutically acceptable salts thereof.

Claim 20. (previously amended) A method of treating disorders and diseases associated with NPY receptor subtype Y5 comprising administering to a mammal in need of such treatment a therapeutically effective amount of a compound of claim 1 selected from the group consisting of eating disorders, obesity, anorexia nervosa, bulimia nervosa, diabetes, dyspilipidimia, hypertension, memory loss, epileptic seizures, migraine, sleep disorders, pain, sexual/reproductive disorders, depression and anxiety.

Claim 21. (canceled)

Claim 22. (previously amended) A pharmaceutical composition according to Claim 20 for the treatment of disorders or disease states caused by eating disorders, obesity, anorexia nervosa, bulimia nervosa, diabetes, dyspilipidimia, hypertension, memory loss, epileptic seizures, migraine, sleep disorders, pain, sexual/reproductive disorders, depression or anxiety.